

WO 2004/030701

1/8

PCT/EP2003/009750

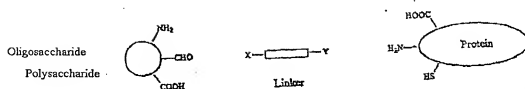


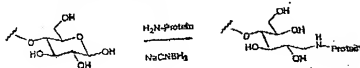
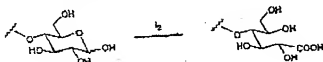
Fig. 1: Neoglycoprotein synthesis

WO 2004/030701

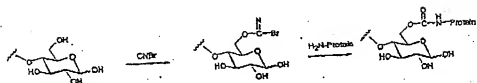
2/8

PCT/EP2003/009750

a) Reductive amination

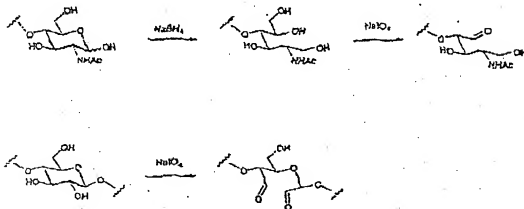
5 b) I_2 oxidation

c) CNBr activation



Alternative: activation with CDAP

10

d) $NaIO_4$ cleavage

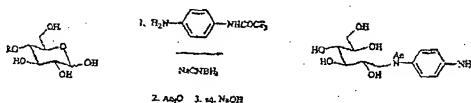
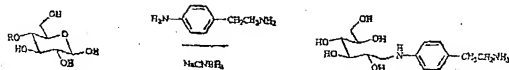
15 Fig. 2.1: Polysaccharide modification

WO 2004/030701

3/8

PCT/EP2003/009750

a) Reductive amination



5 b) N-glycosylation

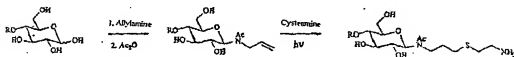
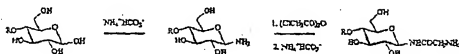


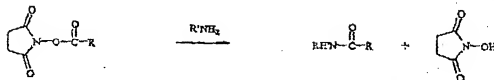
Fig. 2.2: Oligosaccharide modification

WO 2004/030701

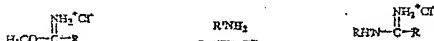
4/8

PCT/EP2003/009750

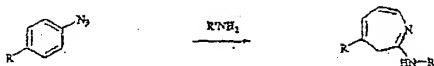
1a: N-Hydroxysuccinimides



5 1b: Imido esters



1c: Aryl azides



10

2: Hydrazides

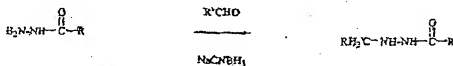


Fig. 3-1

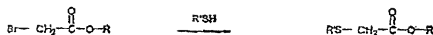
Fig. 3: NH₂ and CHO/COOH coupling reactions

WO 2004/030701

5/8

PCT/EP2003/009750

3a: Haloacetates



5 3b: Maleimides



3c: Pyridyl disulfides



10

Fig. 3.2

Fig. 3: SH coupling reactions

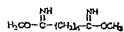
WO 2004/030701

6/8

PCT/EP2003/009750

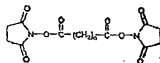
1: Homobifunctional

a)



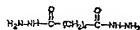
DMA ($n = 4$)
DMF ($n = 5$)
DMS ($n = 6$)

b)



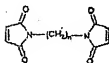
DSG ($n = 3$)
DSS ($n = 6$)

c)



ADH

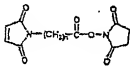
d)



BMDE ($n = 2$)
BMB ($n = 4$)
BMH ($n = 6$)

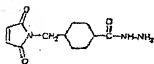
2: Heterobifunctional

a)

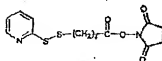


AMAS ($n = 1$)
GMBS ($n = 3$)
EMCS ($n = 5$)

b)

 $\text{M}_2\text{C}_2\text{H}$

c)



SPDP

Fig. 4: Crosslinkers

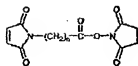
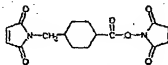
WO 2004/030701

7/8

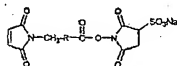
PCT/EP2003/009750

1: Maleimide

a)

AMAS ($n = 1$)GMBS ($n = 3$)EMCS ($n = 5$)

SMCC

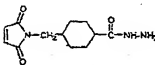


Sulfo-GMBS

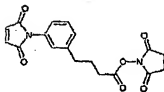
Sulfo-EMCS

Sulfo-SMCC

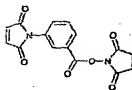
b)

 M_2C_2H

c)



SMPB



MBS

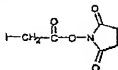
5 Fig. 5: Linkers for SH couplings

WO 2004/030701

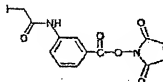
8/8

PCT/EP2003/009750

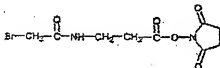
2: Haloacetate



SIA

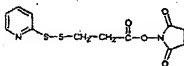


SIAB

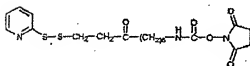


SBAP

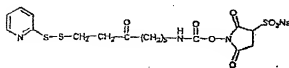
5 3: Pyridyl disulfide



SPDP



LC-SPDP



Sulfonate-LC-SPDP

Fig. 5: Linkers for SH couplings